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PASSWORD:

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NEWS
NEWS
     2 OCT 02
                 CA/CAplus enhanced with pre-1907 records from Chemisches
                 Zentralblatt
NEWS 3 OCT 19
                 BEILSTEIN updated with new compounds
NEWS 4 NOV 15
                 Derwent Indian patent publication number format enhanced
NEWS 5
         NOV 19
                 WPIX enhanced with XML display format
NEWS 6
         NOV 30 ICSD reloaded with enhancements
NEWS 7 DEC 04 LINPADOCDB now available on STN NEWS 8 DEC 14 BEILSTEIN pricing structure to change
NEWS 9 DEC 17 USPATOLD added to additional database clusters
NEWS 10 DEC 17 IMSDRUGCONF removed from database clusters and STN
NEWS 11 DEC 17 DGENE now includes more than 10 million sequences
NEWS 12 DEC 17 TOXCENTER enhanced with 2008 MeSH vocabulary in
                 MEDLINE segment
NEWS 13 DEC 17 MEDLINE and LMEDLINE updated with 2008 MeSH vocabulary
NEWS 14 DEC 17 CA/CAplus enhanced with new custom IPC display formats
NEWS 15 DEC 17
                 STN Viewer enhanced with full-text patent content
                 from USPATOLD
NEWS 16 JAN 02
                 STN pricing information for 2008 now available
NEWS 17 JAN 16
                 CAS patent coverage enhanced to include exemplified
                 prophetic substances
NEWS 18 JAN 28 USPATFULL, USPAT2, and USPATOLD enhanced with new
                 custom IPC display formats
NEWS 19 JAN 28 MARPAT searching enhanced
NEWS 20 JAN 28 USGENE now provides USPTO sequence data within 3 days
                 of publication
NEWS 21 JAN 28 TOXCENTER enhanced with reloaded MEDLINE segment
NEWS 22 JAN 28 MEDLINE and LMEDLINE reloaded with enhancements
NEWS 23 FEB 08 STN Express, Version 8.3, now available
NEWS 24 FEB 20 PCI now available as a replacement to DPCI
NEWS 25 FEB 25 IFIREF reloaded with enhancements
NEWS 26 FEB 25
                 IMSPRODUCT reloaded with enhancements
NEWS 27 FEB 29
                 WPINDEX/WPIDS/WPIX enhanced with ECLA and current
                 U.S. National Patent Classification
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NEWS EXPRESS FEBRUARY 08 CURRENT WINDOWS VERSION IS V8.3, AND CURRENT DISCOVER FILE IS DATED 20 FEBRUARY 2008

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NEWS LOGIN Welcome Banner and News Items
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FILE 'HOME' ENTERED AT 12:49:22 ON 18 MAR 2008

=> file reg
COST IN U.S. DOLLARS

FULL ESTIMATED COST ENTRY SESSION 0.21 0.21

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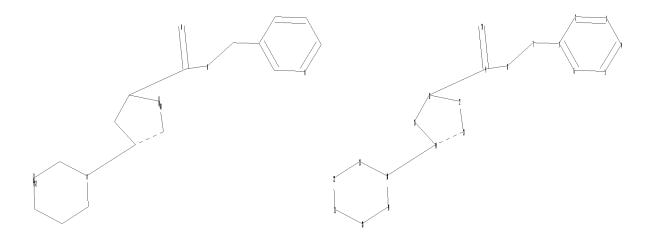
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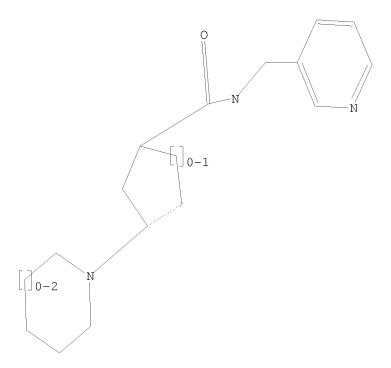
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10
ring nodes :
1 2 3 4 5 6 11 12 13 14 15 18 19 20 21 22 23
ring/chain nodes :
7 8 9
chain bonds :
9-10 9-11 14-18
ring/chain bonds :
1-7 7-8 8-9
ring bonds :
1-2 \quad 1-6 \quad 2-3 \quad 3-4 \quad 4-5 \quad 5-6 \quad 11-12 \quad 11-15 \quad 12-13 \quad 13-14 \quad 14-15 \quad 18-19 \quad 18-23
19-20 20-21 21-22 22-23
exact/norm bonds :
1-7 \quad 7-8 \quad 8-9 \quad 9-10 \quad 13-14 \quad 14-18 \quad 18-19 \quad 18-23 \quad 19-20 \quad 20-21 \quad 21-22 \quad 22-23
exact bonds :
9-11 11-12 11-15 12-13 14-15
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6
isolated ring systems :
containing 11 :
G1:C,H,O,Cl,Br,F,OH,Cy,S,N
Match level:
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:CLASS 9:CLASS 10:CLASS
11:Atom 12:Atom 13:Atom 14:Atom 15:Atom 18:Atom 19:Atom 20:Atom 21:Atom
```

L1 STRUCTURE UPLOADED

=> d l1 L1 HAS NO ANSWERS L1 STR

22:Atom 23:Atom

chain nodes :



G1 C, H, O, Cl, Br, F, OH, Cy, S, N

Structure attributes must be viewed using STN Express query preparation.

1 ANSWERS

=> s 11

SAMPLE SEARCH INITIATED 12:49:50 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 5599 TO ITERATE

35.7% PROCESSED 2000 ITERATIONS

INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**

BATCH **COMPLETE**

PROJECTED ITERATIONS: 107494 TO 116466

PROJECTED ANSWERS: 1 TO 155

L2 1 SEA SSS SAM L1

=> s 11 full

FULL SEARCH INITIATED 12:49:53 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 109276 TO ITERATE

100.0% PROCESSED 109276 ITERATIONS 104 ANSWERS SEARCH TIME: 00.00.01

L3 104 SEA SSS FUL L1

=> file caplus

COST IN U.S. DOLLARS
SINCE FILE TOTAL
ENTRY SESSION
FULL ESTIMATED COST 178.36 178.57

FILE 'CAPLUS' ENTERED AT 12:49:57 ON 18 MAR 2008

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http://www.cas.org/infopolicy.html

=> s 13 full L4 7 L3

=> d ibib abs hitstr tot

L4 ANSWER 1 OF 7 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2006:301787 CAPLUS

DOCUMENT NUMBER: 144:350698

TITLE: Preparation of benzoxazine derivatives as modulators

of chemokine receptors for treatment of inflammation

and immunoregulatory diseases

INVENTOR(S): Goble, Stephen D.; Mills, Sander G.; Yang, Lihu;

Pasternak, Alexander; Bonnefous, Celine; Kamenecka, Theodore M.; Vernier, Jean-Michel; Hutchinson, John

H.; Hu, Essa; Govek, Steven

PATENT ASSIGNEE(S): USA

SOURCE: U.S. Pat. Appl. Publ., 94 pp., Cont.-in-part of Appl.

No. PCT/US04/011281.

CODEN: USXXCO

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIN	KIND DATE			APPL:	ICAT		DATE				
US 2006069088 WO 2004092124 WO 2004092124	A1 A2 A3	 2006 2004 2005		US 2			20050513 20040408					
W: AE, A	G, AL, AM,	AT, AU,	AZ,	BA,	BB,	BG,	BR,	BW,	BY,	BZ,	CA,	CH,
CN, C	O, CR, CU,	CZ, DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,
GE, G	H, GM, HR,	HU, ID,	IL,	IN,	IS,	JP,	ΚE,	KG,	KP,	KR,	KΖ,	LC,
LK, L	R, LS, LT,	LU, LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NA,	NI,
NO, N	Z, OM, PG,	PH, PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SY,
TJ, T	M, TN, TR,	TT, TZ,	UA,	UG,	US,	UZ,	VC,	VN,	YU,	ZA,	ZM,	ZW
RW: BW, G	H, GM, KE,	LS, MW,	MZ,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	ΑZ,
BY, K	G, KZ, MD,	RU, TJ,	TM,	AT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,
ES, F	I, FR, GB,	GR, HU,	ΙE,	ΙΤ,	LU,	MC,	NL,	PL,	PT,	RO,	SE,	SI,
SK, T	R, BF, BJ,	CF, CG,	CI,	CM,	GΑ,	GN,	GQ,	GW,	${ m ML}$,	MR,	NE,	SN,
TD, T	G											

PRIORITY APPLN. INFO.:

US 2003-463111P P 20030415 WO 2004-US11281 A2 20040408

ΙI

OTHER SOURCE(S): MARPAT 144:350698

GΙ

Title benzoxazine derivs. I [wherein X = C, N, O, or S; Y = O, S, SO, SO2, AΒ or (un)substituted NH; Z = C or N; R1 = H, (un)substituted alkoxy(alkyl), alkylthio(alkyl), heterocyclyloxy(alkyl), etc.; R2 = halo, (un)substituted alkyl, alkoxy(alkyl), alkylthio(alkyl), etc.; R3 = H, (un)substituted phenyl(alkyl), cycloalkyl(alkyl), heterocyclyl(alkyl), etc.; R4 = OH, CN, alkoxyl, etc.; R5 and R6 = independently H, OH, halo, alkyl, alkoxyl, etc.; when Z = C, R7 = H, OH, halo, (un)substituted alkyl, alkoxy, etc.; when Z = N, R7 is nothing or oxide; R8 = H, alkyl, CF3, OCF3, halo, etc.; m and n = independently 0-2 wherein m + n = 0-3, or pharmaceutically acceptable salts or diastereomers thereof were prepared as modulators of CCR2 chemokine receptors. For example, II was prepared in a multi-step synthesis. The title compds. are useful as modulators of CCR-2 chemokine receptors for the prevention or treatment of inflammatory and immunoregulatory disorders and diseases, allergic diseases, atopic conditions including allergic rhinitis, dermatitis, conjunctivitis, and asthma, as well as autoimmune pathologies such as rheumatoid arthritis and atherosclerosis (no data).

IT 881493-17-0P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of benzoxazine derivs. as modulators of chemokine receptors for treatment of inflammatory and immunoregulatory diseases)

RN 881493-17-0 CAPLUS

CN Benzoic acid, 3-[1-[(1R,3S)-3-(1-methylethyl)-3-[[6-(trifluoromethyl)-2H-pyrido[3,2-e]-1,3-oxazin-3(4H)-yl]carbonyl]cyclopentyl]-4-piperidinyl](CA INDEX NAME)

L4 ANSWER 2 OF 7 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2005:696675 CAPLUS

DOCUMENT NUMBER: 143:193909

TITLE: Preparation of 2,6-disubstituted piperidines as

modulators of chemokine receptors

INVENTOR(S): Yang, Lihu; Mills, Sander G.; Zhou, Changyou; Goble,

Stephen D.; Pasternak, Alexander

PATENT ASSIGNEE(S): Merck & Co., Inc., USA SOURCE: PCT Int. Appl., 65 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PA.	PATENT NO.					KIND DATE				APPL	ICAT		DATE					
	0 2005070133 0 2005070133							WO 2	005-		20050114							
		CN, GE, LK, NO, TJ, BW, AZ, EE,	CO, GH, LR, NZ, TM, GH, BY, ES,	CR, GM, LS, OM, TN, GM, KG,	CU, HR, LT, PG, TR, KE, KZ,	CZ, HU, LU, PH, TT, LS, MD, GB,	DE, ID, LV, PL, TZ, MW, RU, GR,	AZ, DK, IL, MA, PT, UA, MZ, TJ, HU,	DM, IN, MD, RO, UG, NA, TM, IE,	DZ, IS, MG, RU, US, SD, AT, IS,	EC, JP, MK, SC, UZ, SL, BE, IT,	EE, KE, MN, SD, VC, SZ, BG, LT,	EG, KG, MW, SE, VN, TZ, CH, LU,	ES, KP, MX, SG, YU, UG, CY, MC,	FI, KR, MZ, SK, ZA, ZM, CZ, NL,	GB, KZ, NA, SL, ZM, ZW, DE, PL,	GD, LC, NI, SY, ZW AM, DK, PT,	
					SK, TD,		BF,	ВJ,	CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	
AU	2005						2005	0804		AU 2	005-	2067	91		2	0050	114	
	2553								CA 2005-2553242						20050114			
EP	1732	552						EP 2005-711338										
	1909	IS, 906	IT,	LI,	LT,	LU,	MC, 2007	DE, NL, 0207	PL,	PT, CN 2	RO,	SE, 8000:	SI, 2715	SK,	TR,	LV 0050	114	
									JP 2006-551125 IN 2006-DN3835									
	2007																	
PRIORIT	Y APP	LN.	INFO	.:						US 2 WO 2			_			0040 0050		
OTHER SO	OURCE	(S):			CAS:	REAC	T 14	3:193	3909	; MA	RPAT	143	:193	909				

AB Title compds. I [R1 = H, OH, CN, etc.; R2 = H, (un)substituted alkyl or alkoxy; R3 = H, halo, OH, etc. when Y is C or R3 is oxygen or absent when Y is N; R4 = H, trifluoromethyl, trifluoromethoxy, etc.; R5 = (un)substituted alkyl, alkoxy, thioalkyl, etc.; R6 = H, alkyl, chloro, etc.; R7 = nothing when X is O, S, or SO2 or R7 = H, alkylphenyl, alkylheterocycle, etc. when X is C or N; R8 = H, OH, alkyl, etc. when X is C or R8 = nothing when X is O, S, SO2, etc. or R7 and R8 together form a ring selected from (un)substituted 1H-indene, 2,3-dihydro-1H-indene, 2,3-dihydro-benzofuran, etc.; R9 and R10 independently = H, OH, alkyl, etc. or R7 and R9, or R8 and R10 together form (un)substituted Ph or heterocycle; R11, R13, R14 and R15 independently = H, OH, alkyl, etc.; R12 and R16 independently = OH, (un)substituted alkoxy, alkylhydroxy, etc. or R12 and R16 together form a bridge consisting of (un)substituted alkyl or

^{*} STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

alkyl-O-alkyl; R17 = H, (un)substituted Ph or alkyl or R2 and R17 together form a heterocycle; Q = (CH2)n; X = C, N, O, etc.; Y = N or C; Z = (CH2)0-1; n = 0-2] and their pharmaceutically acceptable salts, are prepared and disclosed as modulators of chemokine receptors. Thus, e.g., II was prepared by Grignard reaction of N-carbethoxy-4-tropinone with Ph magnesium bromide followed by dehydration/hydrogenation/decarboxylation sequence and subsequent coupling with III (preparation given). The binding activity of I towards the CCR-2 receptor was evaluated and it was revealed that compds. of the invention are useful modulators of chemokine receptor activity (data given). I as modulator of chemokine receptors should prove useful in the treatment of rheumatoid arthritis. Pharmaceutical compns. comprising I are disclosed.

IT 861853-56-7P 861855-43-8P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of 2,6-disubstituted piperidines as modulators of chemokine receptors)

RN 861853-56-7 CAPLUS

CN 1,6-Naphthyridine, 5,6,7,8-tetrahydro-6-[[1-(1-methylethyl)-3-(3-phenyl-8-azabicyclo[3.2.1]oct-8-yl)cyclopentyl]carbonyl]-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)

RN 861855-43-8 CAPLUS

CN 1,6-Naphthyridine, 5,6,7,8-tetrahydro-6-[[(1S)-1-(1-methylethyl)-3-[(3-exo)-3-[3-methyl-5-(1-methylethyl)-4H-1,2,4-triazol-4-yl]-8-azabicyclo[3.2.1]oct-8-yl]cyclopentyl]carbonyl]-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)

L4 ANSWER 3 OF 7 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2005:141023 CAPLUS

DOCUMENT NUMBER: 142:240424

TITLE: Preparation of (thiazolyl)cyclopentane amide

modulators of chemokine receptor activity Butora, Gabor; Yang, Lihu; Goble, Stephen D.

PATENT ASSIGNEE(S): Merck & Co., Inc., USA SOURCE: PCT Int. Appl., 82 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

INVENTOR(S):

GΙ

	PATENT NO.							DATE		APPLICATION NO.									
WO	2005	0145	37		A2								20040806						
WO	2005014537			А3		2005	0512												
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		GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS	, JP,	KΕ,	KG,	KP,	KR,	KΖ,	LC,		
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	RW:	BW,	GH,	GM,	ΚE,	LS,	MW,	MΖ,	NA,	SD	, SL,	SZ,	TZ,	UG,	ZM,	ZW,	ΑM,		
		AZ,	BY,	KG,	KΖ,	MD,	RU,	ТJ,	TM,	AT	, BE,	BG,	CH,	CY,	CZ,	DE,	DK,		
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		SI,	SK,	TR,	BF,	ВJ,	CF,	CG,	CI,	CM	, GA,	GN,	GQ,	GW,	ML,	MR,	ΝE,		
		SN,	TD,	ΤG															
AU	2004	2635	09		A1 20050217			AU 2004-263509						2	0040	806			
CA	2534	294			A1 20050217				CA :	2004-									
EP	1654	256			A2 20060510			EP 2004-780322						20040806					
	R:	ΑT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR	, IT,	LI,	LU,	NL,	SE,	MC,	PT,		
		ΙE,	SI,	LT,	LV,	FI,	RO,	CY,	TR,	BG	, CZ,	EE,	HU,	PL,	SK				
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JP	2007	5017	95		T		2007	0201	JP 2006-522756						20040806				
IN	2006	DN00	519		A		2007	0810		IN :	2006-	DN51	9		2	0060	131		
US	2006	2057	83		A1		2006	0914		US :	2006-	5675	16		2	0060	207		
PRIORIT	Y APP	LN.	INFO	.:						US :	2003-	4939	02P		P 2	0030	808		
										WO :	2004-	US25	467		W 2	0040	806		
OTHER S	OURCE	(S):			CAS	REAC	T 14	2:24	0424	; M	ARPAT	142	:240	424					

Ι

ΙI

AB Title compds. I [wherein Z = independently C or N; R1 = (alkoxy)alkyl, alkylthioalkyl, hydroxy, etc.; R2-R4, R6 = independently H, OH, alkyl, halo, etc.; R5 = (carbonyl)alkyl, CF3, halo, etc.; R7, R9 = independently H, Ph, alkyl, etc.; R8 = H, Ph, alkyl, etc.; R10 = (un)substituted tetrahydropyranyl-4-ylamino, azacyclohept-1-yl, azacyclooct-1-yl; and pharmaceutically acceptable salts or solvates thereof and individual diastereomers thereof] are prepd as chemokine receptor modulators (no data). For example, II was given in a multi-step synthesis starting from 2,6-dichloro-4-trifluoromethylpyridine. The invention is directed to pharmaceutical compns. comprising these compds. and the use of these compds. and compns. as chemokine receptor modulators in the prevention or treatment of the diseases in which chemokine receptors are involved, such as inflammatory and immunoregulatory disorders, and rheumatoid arthritis (no data).

IT 844639-97-0P 844639-99-2P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of N-pyridinylmethyl (thiazolyl)cyclopentane amide modulators of chemokine receptor activity)

RN 844639-97-0 CAPLUS

CN Carbamic acid, [4-[3-(hexahydro-1H-azepin-1-yl)-1-[[[[5-(trifluoromethyl)-3-pyridinyl]methyl]amino]carbonyl]cyclopentyl]-2-thiazolyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN 844639-99-2 CAPLUS

CN Cyclopentanecarboxamide, 1-(2-amino-4-thiazoly1)-3-(hexahydro-1H-azepin-1-y1)-N-[[5-(trifluoromethy1)-3-pyridiny1]methy1]- (CA INDEX NAME)

```
ACCESSION NUMBER: 2004:1124588 CAPLUS
                        142:69197
DOCUMENT NUMBER:
                        CCR-2 antagonists for treatment of neuropathic pain
TITLE:
                        Abbadie, Catherine; Lindia, Jill Ann; Wang, Hao
INVENTOR(S):
                        Merck & Co., Inc., USA
PATENT ASSIGNEE(S):
SOURCE:
                        PCT Int. Appl., 304 pp.
                        CODEN: PIXXD2
DOCUMENT TYPE:
                        Patent
                        English
LANGUAGE:
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:
                      KIND DATE
    PATENT NO.
                                         APPLICATION NO.
                                                               DATE
                       ____
                                          _____
    WO 2004110376
                       A2 20041223
                                         WO 2004-US17499
                                                                20040602
                       A3 20050224
    WO 2004110376
        W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,
            CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,
            GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,
            LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI,
        SN, TD, TG
                       A1
    US 2006205761
                              20060914
                                          US 2005-559701
                                                                 20051206
                                          US 2003-476391P P 20031220

US 2003-531637P P 20031222

WO 2004-US17499 W 20040602
PRIORITY APPLN. INFO.:
OTHER SOURCE(S):
                       MARPAT 142:69197
    The invention is directed to methods of treating neuropathic pain and
AΒ
    other neuropathic diseases and conditions with CCR-2 antagonists and
    pharmaceutical composition containing CCR-2 antagonists.
ΙT
    766513-14-8P 766513-16-0P 766513-18-2P
    766513-20-6P 766513-22-8P 766513-24-0P
    767332-04-7P 767332-05-8P 767332-06-9P
    767332-07-0P 767332-08-1P 767332-09-2P
    787638-91-9P 787638-92-0P 787638-93-1P
    787638-94-2P 787638-95-3P 787638-96-4P
    787638-97-5P 787638-98-6P 787639-19-4P
    787639-25-2P 787639-26-3P 787639-27-4P
    787639-28-5P 787639-87-6P 787639-88-7P
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    787639-95-6P 787639-96-7P 787639-97-8P
    787639-98-9P 791067-33-9P 791067-36-2P
    RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
     (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
     (Uses)
        (CCR2 antagonists for treatment of neuropathic pain)
    766513-14-8 CAPLUS
RN
    Cyclobutanecarboxamide, 1-(1-methylethyl)-3-(4-phenyl-1-piperidinyl)-N-[[5-
     (trifluoromethyl)-3-pyridinyl]methyl]- (CA INDEX NAME)
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L4 ANSWER 4 OF 7 CAPLUS COPYRIGHT 2008 ACS on STN

RN 766513-16-0 CAPLUS

CN 1,6-Naphthyridine, 5,6,7,8-tetrahydro-6-[[1-(1-methylethyl)-3-(4-phenyl-1-piperidinyl)cyclobutyl]carbonyl]-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)

RN 766513-18-2 CAPLUS

CN Cyclobutanecarboxamide, 1-(1-hydroxyethyl)-3-(4-phenyl-1-piperidinyl)-N[[5-(trifluoromethyl)-3-pyridinyl]methyl]- (CA INDEX NAME)

RN 766513-20-6 CAPLUS

CN 1,6-Naphthyridine, 5,6,7,8-tetrahydro-6-[[1-(1-hydroxyethyl)-3-(4-phenyl-1-piperidinyl)cyclobutyl]carbonyl]-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)

RN 766513-22-8 CAPLUS

CN Cyclobutanecarboxamide, 1-(1-hydroxy-1-methylethyl)-3-(4-phenyl-1-

piperidinyl)-N-[[5-(trifluoromethyl)-3-pyridinyl]methyl]- (CA INDEX NAME)

RN 766513-24-0 CAPLUS

CN 1,6-Naphthyridine, 5,6,7,8-tetrahydro-6-[[1-(1-hydroxy-1-methylethyl)-3-(4-phenyl-1-piperidinyl)cyclobutyl]carbonyl]-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)

RN 767332-04-7 CAPLUS

CN Cyclobutanecarboxamide, 1-(1-methylethyl)-3-[(1R,3'R)-3'-methylspiro[1H-indene-1,4'-piperidin]-1'-yl]-N-[[5-(trifluoromethyl)-3-pyridinyl]methyl]-, rel- (CA INDEX NAME)

Relative stereochemistry.

RN 767332-05-8 CAPLUS

CN 1,6-Naphthyridine, 5,6,7,8-tetrahydro-6-[[1-(1-methylethyl)-3-[(1R,3'R)-3'-methylspiro[1H-indene-1,4'-piperidin]-1'-yl]cyclobutyl]carbonyl]-3-(trifluoromethyl)-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 767332-06-9 CAPLUS

CN Cyclobutanecarboxamide, 1-(1-hydroxyethyl)-3-[(1R,3'R)-3'-methylspiro[1H-indene-1,4'-piperidin]-1'-yl]-N-[[5-(trifluoromethyl)-3-pyridinyl]methyl]-, rel- (CA INDEX NAME)

Relative stereochemistry.

RN 767332-07-0 CAPLUS

CN 1,6-Naphthyridine, 5,6,7,8-tetrahydro-6-[[1-(1-hydroxyethyl)-3-[(1R,3'R)-3'-methylspiro[1H-indene-1,4'-piperidin]-1'-yl]cyclobutyl]carbonyl]-3-(trifluoromethyl)-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 767332-08-1 CAPLUS

CN Cyclobutanecarboxamide, 1-(1-hydroxy-1-methylethyl)-3-[(1R,3'R)-3'-methylspiro[1H-indene-1,4'-piperidin]-1'-yl]-N-[[5-(trifluoromethyl)-3-pyridinyl]methyl]-, rel- (CA INDEX NAME)

Relative stereochemistry.

RN 767332-09-2 CAPLUS

CN 1,6-Naphthyridine, 5,6,7,8-tetrahydro-6-[[1-(1-hydroxy-1-methylethyl)-3-[(1R,3'R)-3'-methylspiro[1H-indene-1,4'-piperidin]-1'-yl]cyclobutyl]carbonyl]-3-(trifluoromethyl)-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 787638-91-9 CAPLUS

CN 4-Piperidinecarboxamide, 1-[(3S)-3-[[7,8-dihydro-3-(trifluoromethyl)-1,6-naphthyridin-6(5H)-yl]carbonyl]-3-(1-methylethyl)cyclopentyl]-N-methyl-(CA INDEX NAME)

Absolute stereochemistry.

RN 787638-92-0 CAPLUS

CN 4-Piperidinecarboxylic acid, 1-[(3S)-3-[[7,8-dihydro-3-(trifluoromethyl)-1,6-naphthyridin-6(5H)-yl]carbonyl]-3-(1-methylethyl)cyclopentyl]-, ethyl ester (CA INDEX NAME)

RN 787638-93-1 CAPLUS

CN 3-Piperidinecarboxylic acid, 1-[(3S)-3-[[7,8-dihydro-3-(trifluoromethyl)-1,6-naphthyridin-6(5H)-yl]carbonyl]-3-(1-methylethyl)cyclopentyl]-, ethyl ester (CA INDEX NAME)

Absolute stereochemistry.

RN 787638-94-2 CAPLUS

CN 1,6-Naphthyridine, 5,6,7,8-tetrahydro-6-[[(1S)-1-(1-methylethyl)-3-(4-methyl-1-piperidinyl)cyclopentyl]carbonyl]-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)

RN 787638-95-3 CAPLUS

CN 1,6-Naphthyridine, 5,6,7,8-tetrahydro-6-[[(1S)-1-(1-methylethyl)-3-(3-methyl-1-piperidinyl)cyclopentyl]carbonyl]-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 787638-96-4 CAPLUS

CN 1,6-Naphthyridine, 5,6,7,8-tetrahydro-6-[[(1S)-3-(3-hydroxy-1-piperidinyl)-1-(1-methylethyl)cyclopentyl]carbonyl]-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)

RN 787638-97-5 CAPLUS

CN 1,6-Naphthyridine, 5,6,7,8-tetrahydro-6-[[(1S)-3-(4-hydroxy-1-piperidinyl)-1-(1-methylethyl)cyclopentyl]carbonyl]-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 787638-98-6 CAPLUS

CN 1,6-Naphthyridine, 6-[[(1S)-3-(1R,4S)-2-azabicyclo[2.2.1]hept-2-yl-1-(1-methylethyl)cyclopentyl]carbonyl]-5,6,7,8-tetrahydro-3-(trifluoromethyl)-(9CI) (CA INDEX NAME)

RN 787639-19-4 CAPLUS

CN 1,6-Naphthyridine, 5,6,7,8-tetrahydro-6-[[(1S)-1-(1-methylethyl)-3-(1S,4S)-2-oxa-5-azabicyclo[2.2.1]hept-5-ylcyclopentyl]carbonyl]-3- (trifluoromethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 787639-25-2 CAPLUS

CN 1,6-Naphthyridine, 5,6,7,8-tetrahydro-6-[[(1S)-1-(1-methylethyl)-3-[3-(4-pyridinyl)-1-pyrrolidinyl]cyclopentyl]carbonyl]-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)

RN 787639-26-3 CAPLUS

CN 1,6-Naphthyridine, 5,6,7,8-tetrahydro-6-[[(1S)-1-(1-methylethyl)-3-[3-(3-pyridinyl)-1-pyrrolidinyl]cyclopentyl]carbonyl]-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 787639-27-4 CAPLUS

CN 1,6-Naphthyridine, 5,6,7,8-tetrahydro-6-[[(1S)-1-(1-methylethyl)-3-[3-(2-pyridinyl)-1-pyrrolidinyl]cyclopentyl]carbonyl]-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)

RN 787639-28-5 CAPLUS

CN 3-Pyrrolidineacetic acid, 1-[(3S)-3-[[7,8-dihydro-3-(trifluoromethyl)-1,6-naphthyridin-6(5H)-yl]carbonyl]-3-(1-methylethyl)cyclopentyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)

Absolute stereochemistry.

RN 787639-87-6 CAPLUS

CN 1,6-Naphthyridine, 5,6,7,8-tetrahydro-6-[[(1S)-1-(1-methylethyl)-3-[4-(5-pyrimidinyl)-1-piperidinyl]cyclopentyl]carbonyl]-3-(trifluoromethyl)-(9CI) (CA INDEX NAME)

RN 787639-88-7 CAPLUS

CN 1,6-Naphthyridine, 5,6,7,8-tetrahydro-6-[[(1S)-1-(1-methylethyl)-3-[4-(1H-tetrazol-1-yl)-1-piperidinyl]cyclopentyl]carbonyl]-3-(trifluoromethyl)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 787639-89-8 CAPLUS

CN 1,6-Naphthyridine, 5,6,7,8-tetrahydro-6-[[(1S)-1-(1-methylethyl)-3-[4-(1H-pyrazol-1-yl)-1-piperidinyl]cyclopentyl]carbonyl]-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)

RN 787639-90-1 CAPLUS

CN 1,6-Naphthyridine, 5,6,7,8-tetrahydro-6-[[(1S)-1-(1-methylethyl)-3-[4-(1H-1,2,3-triazol-1-yl)-1-piperidinyl]cyclopentyl]carbonyl]-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 787639-91-2 CAPLUS

CN 1,6-Naphthyridine, 5,6,7,8-tetrahydro-6-[[(1S)-1-(1-methylethyl)-3-[4-(1H-1,2,4-triazol-1-yl)-1-piperidinyl]cyclopentyl]carbonyl]-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)

RN 787639-92-3 CAPLUS

CN 1,6-Naphthyridine, 5,6,7,8-tetrahydro-6-[[(1S)-1-(1-methylethyl)-3-[4-(3H-pyrazol-3-yl)-1-piperidinyl]cyclopentyl]carbonyl]-3-(trifluoromethyl)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 787639-93-4 CAPLUS

CN 1,6-Naphthyridine, 5,6,7,8-tetrahydro-6-[[(1S)-1-(1-methylethyl)-3-[4-(5-methyl-2H-tetrazol-2-yl)-1-piperidinyl]cyclopentyl]carbonyl]-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)

RN 787639-94-5 CAPLUS

CN 1,6-Naphthyridine, 5,6,7,8-tetrahydro-6-[[(1S)-1-(1-methylethyl)-3-[4-(4-thiazolyl)-1-piperidinyl]cyclopentyl]carbonyl]-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 787639-95-6 CAPLUS

CN Benzoic acid, 3-[1-[(3S)-3-[[7,8-dihydro-3-(trifluoromethyl)-1,6-naphthyridin-6(5H)-yl]carbonyl]-3-(1-methylethyl)cyclopentyl]-4-piperidinyl]-, ethyl ester (CA INDEX NAME)

RN 787639-96-7 CAPLUS

CN Benzoic acid, 3-[1-[(3S)-3-[[7,8-dihydro-3-(trifluoromethyl)-1,6-naphthyridin-6(5H)-yl]carbonyl]-3-(1-methylethyl)cyclopentyl]-4-piperidinyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 787639-97-8 CAPLUS

CN 1,6-Naphthyridine, 6-[[(1S)-3-[4-(2,5-dihydro-5-oxo-1,2,4-thiadiazol-3-yl)-1-piperidinyl]-1-(1-methylethyl)cyclopentyl]carbonyl]-5,6,7,8-tetrahydro-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)

RN 787639-98-9 CAPLUS

CN 1,6-Naphthyridine, 5,6,7,8-tetrahydro-6-[[(1S)-1-(1-methylethyl)-3-[3-(4-methyl-4H-1,2,4-triazol-3-yl)-1-piperidinyl]cyclopentyl]carbonyl]-3- (trifluoromethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 791067-33-9 CAPLUS

CN 1,6-Naphthyridine, 6-[[(1S)-3-(3,5-dimethyl-1-piperidinyl)-1-(1-methylethyl)cyclopentyl]carbonyl]-5,6,7,8-tetrahydro-3-(trifluoromethyl)-(9CI) (CA INDEX NAME)

RN 791067-36-2 CAPLUS

CN 1,6-Naphthyridine, 5,6,7,8-tetrahydro-6-[[(1S)-1-(1-methylethyl)-3-[(4R,7S)-octahydro-4-methyl-4,7-epoxy-2H-isoindol-2-yl]cyclopentyl]carbonyl]-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)

L4 ANSWER 5 OF 7 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2004:927165 CAPLUS

DOCUMENT NUMBER: 141:410822

TITLE: Preparation of heterocyclic cyclopentyl

tetrahydroisoquinoline and tetrahydropyridopyridine

modulators of chemokine receptor activity

INVENTOR(S): Butora, Gabor; Goble, Stephen D.; Pasternak,

Alexander; Yang, Lihu; Zhou, Changyou; Moyes,

Christopher R.

PATENT ASSIGNEE(S): Merck & Co., Inc., USA; Merck Sharp & Dohme Limited

SOURCE: PCT Int. Appl., 187 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PA'	PATENT NO.										ICAT		DATE				
	2004094371			A2 20041104							20040414						
	W:	AE, CN, GE, LK, NO, TJ, BW, BY,	AG, CO, GH, LR, NZ, TM, GH, KG, FI,	AL, CR, GM, LS, OM, TN, GM, KZ, FR,	AM, CU, HR, LT, PG, TR, KE, MD, GB,	AT, CZ, HU, LU, PH, TT, LS, RU, GR,	AU, DE, ID, LV, PL, TZ, MW, TJ,	AZ, DK, IL, MA, PT, UA, MZ, TM, IE,	BA, DM, IN, MD, RO, UG, SD, AT, IT,	DZ, IS, MG, RU, US, SL, BE, LU,	BG, EC, JP, MK, SC, UZ, SZ, BG, MC, GN,	EE, KE, MN, SD, VC, TZ, CH, NL,	EG, KG, MW, SE, VN, UG, CY, PL,	ES, KP, MX, SG, YU, ZM, CZ, PT,	FI, KR, MZ, SK, ZA, ZW, DE, RO,	GB, KZ, NA, SL, ZM, AM, DK, SE,	GD, LC, NI, SY, ZW AZ, EE, SI,
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OTHER SOURCE(S): MARPAT 141:410822

GI

AB Title compds. I [X = C. N, O, S, SO2; Y = N, C; R1 = H, alkyl, etc.; R2 = H, OH, halo, alkyl, amino, etc.; R3 = O or absent when Y = N and when Y = C, H, OH, halo, etc.; R4 = H, alkyl, CF3, etc.; R5 = alkyl, alkoxy, etc.; R6 = H, alkyl, CF3, etc.; R7 = H, (alkyl)phenyl, (alkyl)heterocycle, etc.; R8 = H, nothing when X = O, S, SO2, etc.; R9-10 = H, OH, alkyl, etc.; n = 0-2] are prepared For instance, II is prepared in several steps from 7-trifluoromethyl-1,2,3,4-tetrahydroisoquinoline (preparation given), Me 3-oxocyclopentanecarboxylate and 4-carboethoxypiperidine. I are modulators of the chemokine receptor CCR-2.

ΙI

TT 787638-99-7P 787639-00-3P
RL: PAC (Pharmacological activity); PUR (Purification or recovery); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(preparation of heterocyclic cyclopentyl tetrahydroisoquinoline and tetrahydropyridopyridine modulators of chemokine receptor activity) 787638-99-7 CAPLUS

RN 787638-99-7 CAPLUS
CN 4-Piperidinecarboxylic acid, 1-[(1S,3S)-3-[[7,8-dihydro-3-(trifluoromethyl)-1,6-naphthyridin-6(5H)-yl]carbonyl]-3-(1-methylethyl)cyclopentyl]-, ethyl ester, hydrochloride (9CI) (CA INDEX NAME)

●x HCl

RN 787639-00-3 CAPLUS
CN 4-Piperidinecarboxylic acid, 1-[(1R,3S)-3-[[7,8-dihydro-3-(trifluoromethyl)-1,6-naphthyridin-6(5H)-yl]carbonyl]-3-(1-methylethyl)cyclopentyl]-, ethyl ester, hydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

●x HCl

IT 787639-04-7P 787639-05-8P 787639-06-9P 787639-07-0P 787639-08-1P 787639-09-2P 787639-10-5P 787639-11-6P 787639-12-7P 787639-13-8P 787639-14-9P 787639-15-0P 787639-22-9P 787639-23-0P 787639-82-1P

Absolute stereochemistry.

●x HCl

RN 787639-05-8 CAPLUS
CN 4-Piperidinecarboxamide, 1-[(1R,3S)-3-[[7,8-dihydro-3-(trifluoromethyl)-1,6-naphthyridin-6(5H)-yl]carbonyl]-3-(1-methylethyl)cyclopentyl]-N-methyl-, hydrochloride (9CI) (CA INDEX NAME)

●x HCl

RN 787639-06-9 CAPLUS
CN 3-Piperidinecarboxylic acid, 1-[(1S,3S)-3-[[7,8-dihydro-3-(trifluoromethyl)-1,6-naphthyridin-6(5H)-yl]carbonyl]-3-(1-methylethyl)cyclopentyl]-, ethyl ester, hydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

•x HCl

RN 787639-07-0 CAPLUS
CN 3-Piperidinecarboxylic acid, 1-[(1R,3S)-3-[[7,8-dihydro-3-(trifluoromethyl)-1,6-naphthyridin-6(5H)-yl]carbonyl]-3-(1-methylethyl)cyclopentyl]-, ethyl ester, hydrochloride (9CI) (CA INDEX NAME)

●x HCl

RN 787639-08-1 CAPLUS

CN 1,6-Naphthyridine, 5,6,7,8-tetrahydro-6-[[(1S,3S)-1-(1-methylethyl)-3[(3R)-3-methyl-1-piperidinyl]cyclopentyl]carbonyl]-3-(trifluoromethyl)-,
hydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

•x HCl

RN 787639-09-2 CAPLUS

CN 1,6-Naphthyridine, 5,6,7,8-tetrahydro-6-[[(1S,3S)-1-(1-methylethyl)-3-[(3S)-3-methyl-1-piperidinyl]cyclopentyl]carbonyl]-3-(trifluoromethyl)-, hydrochloride (9CI) (CA INDEX NAME)

RN 787639-10-5 CAPLUS

CN 1,6-Naphthyridine, 5,6,7,8-tetrahydro-6-[[(1S,3R)-1-(1-methylethyl)-3[(3S)-3-methyl-1-piperidinyl]cyclopentyl]carbonyl]-3-(trifluoromethyl)-,
hydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

•x HCl

RN 787639-11-6 CAPLUS

CN 1,6-Naphthyridine, 5,6,7,8-tetrahydro-6-[[(1S,3R)-1-(1-methylethyl)-3-[(3R)-3-methyl-1-piperidinyl]cyclopentyl]carbonyl]-3-(trifluoromethyl)-, hydrochloride (9CI) (CA INDEX NAME)

RN 787639-12-7 CAPLUS

CN 1,6-Naphthyridine, 6-[[(1S,3R)-3-[(3S,5R)-3,5-dimethyl-1-piperidinyl]-1-(1-methylethyl)cyclopentyl]carbonyl]-5,6,7,8-tetrahydro-3-(trifluoromethyl)-, hydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

•x HCl

RN 787639-13-8 CAPLUS

CN 1,6-Naphthyridine, 6-[[(1S,3S)-3-[(3S,5R)-3,5-dimethyl-1-piperidinyl]-1-(1-methylethyl)cyclopentyl]carbonyl]-5,6,7,8-tetrahydro-3-(trifluoromethyl)-, hydrochloride (9CI) (CA INDEX NAME)

 ${\tt Absolute \ stereochemistry.}$

RN 787639-14-9 CAPLUS

CN 1,6-Naphthyridine, 5,6,7,8-tetrahydro-6-[[(1S,3S)-3-(4-hydroxy-1-piperidinyl)-1-(1-methylethyl)cyclopentyl]carbonyl]-3-(trifluoromethyl)-, hydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

●x HCl

RN 787639-15-0 CAPLUS

CN 1,6-Naphthyridine, 5,6,7,8-tetrahydro-6-[[(1S,3R)-3-(4-hydroxy-1-piperidinyl)-1-(1-methylethyl)cyclopentyl]carbonyl]-3-(trifluoromethyl)-, hydrochloride (9CI) (CA INDEX NAME)

RN 787639-22-9 CAPLUS

CN 1,6-Naphthyridine, 5,6,7,8-tetrahydro-6-[[(1S,3S)-1-(1-methylethyl)-3-(1S,4S)-2-oxa-5-azabicyclo[2.2.1]hept-5-ylcyclopentyl]carbonyl]-3-(trifluoromethyl)-, hydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

●x HCl

RN 787639-23-0 CAPLUS

CN 1,6-Naphthyridine, 5,6,7,8-tetrahydro-6-[[(1S,3R)-1-(1-methylethyl)-3-(1S,4S)-2-oxa-5-azabicyclo[2.2.1]hept-5-ylcyclopentyl]carbonyl]-3-(trifluoromethyl)-, hydrochloride (9CI) (CA INDEX NAME)

RN 787639-82-1 CAPLUS

CN 1,6-Naphthyridine, 6-[[(1S,3S)-3-[4-(4-fluorophenyl)-1-piperidinyl]-1-(1-methylethyl)cyclopentyl]carbonyl]-5,6,7,8-tetrahydro-3-(trifluoromethyl)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 787639-83-2 CAPLUS

CN 1,6-Naphthyridine, 6-[[(1S,3R)-3-[4-(4-fluorophenyl)-1-piperidinyl]-1-(1-methylethyl)cyclopentyl]carbonyl]-5,6,7,8-tetrahydro-3-(trifluoromethyl)-(9CI) (CA INDEX NAME)

RN 787639-85-4 CAPLUS

CN 1,6-Naphthyridine, 5,6,7,8-tetrahydro-6-[[(1S,3R)-1-(1-methylethyl)-3-[4-(5-pyrimidinyl)-1-piperidinyl]cyclopentyl]carbonyl]-3-(trifluoromethyl)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 787639-86-5 CAPLUS

CN 1,6-Naphthyridine, 5,6,7,8-tetrahydro-6-[[(1S,3S)-1-(1-methylethyl)-3-[4-(5-pyrimidinyl)-1-piperidinyl]cyclopentyl]carbonyl]-3-(trifluoromethyl)-(9CI) (CA INDEX NAME)

RN 787640-61-3 CAPLUS

CN 1,6-Naphthyridine, 6-[[(1S,3R)-3-[(3R,5R)-3,5-dimethyl-1-piperidinyl]-1-(1-methylethyl)cyclopentyl]carbonyl]-5,6,7,8-tetrahydro-3-(trifluoromethyl)-,hydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

•x HCl

RN 787640-62-4 CAPLUS

CN

1,6-Naphthyridine, 6-[[(1S,3S)-3-[(3R,5R)-3,5-dimethyl-1-piperidinyl]-1-(1-methylethyl)cyclopentyl]carbonyl]-5,6,7,8-tetrahydro-3-(trifluoromethyl)-,hydrochloride (9CI) (CA INDEX NAME)

RN 791067-35-1 CAPLUS

CN 1,6-Naphthyridine, 6-[[(1S)-3-(3,5-dimethyl-1-piperidinyl)-1-(1-methylethyl)cyclopentyl]carbonyl]-5,6,7,8-tetrahydro-3-(trifluoromethyl)-, hydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

•x HCl

 tetrahydropyridopyridine modulators of chemokine receptor activity)

RN 787638-91-9 CAPLUS

CN 4-Piperidinecarboxamide, 1-[(3S)-3-[[7,8-dihydro-3-(trifluoromethyl)-1,6-naphthyridin-6(5H)-yl]carbonyl]-3-(1-methylethyl)cyclopentyl]-N-methyl-(CA INDEX NAME)

Absolute stereochemistry.

RN 787638-93-1 CAPLUS

CN 3-Piperidinecarboxylic acid, 1-[(3S)-3-[[7,8-dihydro-3-(trifluoromethyl)-1,6-naphthyridin-6(5H)-yl]carbonyl]-3-(1-methylethyl)cyclopentyl]-, ethyl ester (CA INDEX NAME)

Absolute stereochemistry.

RN 787638-95-3 CAPLUS

CN 1,6-Naphthyridine, 5,6,7,8-tetrahydro-6-[[(1S)-1-(1-methylethyl)-3-(3-methyl-1-piperidinyl)cyclopentyl]carbonyl]-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)

RN 787639-19-4 CAPLUS

CN 1,6-Naphthyridine, 5,6,7,8-tetrahydro-6-[[(1S)-1-(1-methylethyl)-3-(1S,4S)-2-oxa-5-azabicyclo[2.2.1]hept-5-ylcyclopentyl]carbonyl]-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 787639-84-3 CAPLUS

CN 1,6-Naphthyridine, 6-[[(1S)-3-[4-(4-fluorophenyl)-1-piperidinyl]-1-(1-methylethyl)cyclopentyl]carbonyl]-5,6,7,8-tetrahydro-3-(trifluoromethyl)-(9CI) (CA INDEX NAME)

RN 791067-33-9 CAPLUS

CN 1,6-Naphthyridine, 6-[[(1S)-3-(3,5-dimethyl-1-piperidinyl)-1-(1-methylethyl)cyclopentyl]carbonyl]-5,6,7,8-tetrahydro-3-(trifluoromethyl)-(9CI) (CA INDEX NAME)

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TT 787638-88-4P 787638-89-5P 787638-90-8P 787638-92-0P 787638-94-2P 787638-96-4P 787638-97-5P 787638-98-6P 787639-01-4P 787639-02-5P 787639-03-6P 787639-24-1P 787639-25-2P 787639-26-3P 787639-27-4P 787639-28-5P 787639-87-6P 787639-88-7P 787639-8P 787639-90-1P 787639-91-2P 787639-92-3P 787639-93-4P 787639-94-5P 787639-95-6P 787639-96-7P 787639-97-8P 787639-98-9P 787639-99-0P 787640-00-0P 787640-01-1P 787640-02-2P 787640-54-4P 791067-36-2P RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
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(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of heterocyclic cyclopentyl tetrahydroisoquinoline and tetrahydropyridopyridine modulators of chemokine receptor activity) 787638-88-4 CAPLUS

1,6-Naphthyridine, 6-[[3-(4-benzoyl-1-piperidinyl)-1-(1-methylethyl)cyclopentyl]carbonyl]-5,6,7,8-tetrahydro-3-(trifluoromethyl)-(9CI) (CA INDEX NAME)

RN 787638-89-5 CAPLUS

RN CN

CN 1,6-Naphthyridine, 5,6,7,8-tetrahydro-6-[[1-(1-methylethyl)-3-(1-oxo-2,8-diazaspiro[4.5]dec-8-yl)cyclopentyl]carbonyl]-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)

RN 787638-90-8 CAPLUS

CN 1,6-Naphthyridine, 5,6,7,8-tetrahydro-6-[[(1S)-1-(1-methylethyl)-3-(1-piperidinyl)cyclopentyl]carbonyl]-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 787638-92-0 CAPLUS

CN 4-Piperidinecarboxylic acid, 1-[(3S)-3-[[7,8-dihydro-3-(trifluoromethyl)-1,6-naphthyridin-6(5H)-yl]carbonyl]-3-(1-methylethyl)cyclopentyl]-, ethyl ester (CA INDEX NAME)

Absolute stereochemistry.

RN 787638-94-2 CAPLUS

CN 1,6-Naphthyridine, 5,6,7,8-tetrahydro-6-[[(1S)-1-(1-methylethyl)-3-(4-methyl-1-piperidinyl)cyclopentyl]carbonyl]-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 787638-96-4 CAPLUS

CN 1,6-Naphthyridine, 5,6,7,8-tetrahydro-6-[[(1S)-3-(3-hydroxy-1-piperidinyl)-1-(1-methylethyl)cyclopentyl]carbonyl]-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)

RN 787638-97-5 CAPLUS

CN 1,6-Naphthyridine, 5,6,7,8-tetrahydro-6-[[(1S)-3-(4-hydroxy-1-piperidinyl)-1-(1-methylethyl)cyclopentyl]carbonyl]-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 787638-98-6 CAPLUS

CN 1,6-Naphthyridine, 6-[[(1S)-3-(1R,4S)-2-azabicyclo[2.2.1]hept-2-yl-1-(1-methylethyl)cyclopentyl]carbonyl]-5,6,7,8-tetrahydro-3-(trifluoromethyl)-(9CI) (CA INDEX NAME)

RN 787639-01-4 CAPLUS

CN 4-Piperidinecarboxylic acid, 1-[(1S,3S)-3-[[7,8-dihydro-3-(trifluoromethyl)-1,6-naphthyridin-6(5H)-yl]carbonyl]-3-(1-methylethyl)cyclopentyl]-, hydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

●x HCl

RN 787639-02-5 CAPLUS

CN 4-Piperidinecarboxylic acid, 1-[(1R,3S)-3-[[7,8-dihydro-3-(trifluoromethyl)-1,6-naphthyridin-6(5H)-yl]carbonyl]-3-(1-methylethyl)cyclopentyl]- (CA INDEX NAME)

RN 787639-03-6 CAPLUS

CN 1,6-Naphthyridine, 5,6,7,8-tetrahydro-6-[[(1S,3R)-3-[4-(hydroxymethyl)-1-piperidinyl]-1-(1-methylethyl)cyclopentyl]carbonyl]-3-(trifluoromethyl)-, hydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

●x HCl

RN 787639-24-1 CAPLUS

CN 1,6-Naphthyridine, 5,6,7,8-tetrahydro-6-[[(1S)-1-(1-methylethyl)-3-(1-pyrrolidinyl)cyclopentyl]carbonyl]-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)

RN 787639-25-2 CAPLUS

CN 1,6-Naphthyridine, 5,6,7,8-tetrahydro-6-[[(1S)-1-(1-methylethyl)-3-[3-(4-pyridinyl)-1-pyrrolidinyl]cyclopentyl]carbonyl]-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 787639-26-3 CAPLUS

CN 1,6-Naphthyridine, 5,6,7,8-tetrahydro-6-[[(1S)-1-(1-methylethyl)-3-[3-(3-pyridinyl)-1-pyrrolidinyl]cyclopentyl]carbonyl]-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)

RN 787639-27-4 CAPLUS

CN 1,6-Naphthyridine, 5,6,7,8-tetrahydro-6-[[(1S)-1-(1-methylethyl)-3-[3-(2-pyridinyl)-1-pyrrolidinyl]cyclopentyl]carbonyl]-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 787639-28-5 CAPLUS

CN 3-Pyrrolidineacetic acid, 1-[(3S)-3-[[7,8-dihydro-3-(trifluoromethyl)-1,6-naphthyridin-6(5H)-yl]carbonyl]-3-(1-methylethyl)cyclopentyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)

RN 787639-87-6 CAPLUS

CN 1,6-Naphthyridine, 5,6,7,8-tetrahydro-6-[[(1S)-1-(1-methylethyl)-3-[4-(5-pyrimidinyl)-1-piperidinyl]cyclopentyl]carbonyl]-3-(trifluoromethyl)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 787639-88-7 CAPLUS

CN 1,6-Naphthyridine, 5,6,7,8-tetrahydro-6-[[(1S)-1-(1-methylethyl)-3-[4-(1H-tetrazol-1-yl)-1-piperidinyl]cyclopentyl]carbonyl]-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)

RN 787639-89-8 CAPLUS

CN 1,6-Naphthyridine, 5,6,7,8-tetrahydro-6-[[(1S)-1-(1-methylethyl)-3-[4-(1H-pyrazol-1-yl)-1-piperidinyl]cyclopentyl]carbonyl]-3-(trifluoromethyl)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 787639-90-1 CAPLUS

CN 1,6-Naphthyridine, 5,6,7,8-tetrahydro-6-[[(1S)-1-(1-methylethyl)-3-[4-(1H-1,2,3-triazol-1-yl)-1-piperidinyl]cyclopentyl]carbonyl]-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)

RN 787639-91-2 CAPLUS

CN 1,6-Naphthyridine, 5,6,7,8-tetrahydro-6-[[(1S)-1-(1-methylethyl)-3-[4-(1H-1,2,4-triazol-1-yl)-1-piperidinyl]cyclopentyl]carbonyl]-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 787639-92-3 CAPLUS

CN 1,6-Naphthyridine, 5,6,7,8-tetrahydro-6-[[(1S)-1-(1-methylethyl)-3-[4-(3H-pyrazol-3-yl)-1-piperidinyl]cyclopentyl]carbonyl]-3-(trifluoromethyl)-(9CI) (CA INDEX NAME)

RN 787639-93-4 CAPLUS

CN 1,6-Naphthyridine, 5,6,7,8-tetrahydro-6-[[(1S)-1-(1-methylethyl)-3-[4-(5-methyl-2H-tetrazol-2-yl)-1-piperidinyl]cyclopentyl]carbonyl]-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 787639-94-5 CAPLUS

CN 1,6-Naphthyridine, 5,6,7,8-tetrahydro-6-[[(1S)-1-(1-methylethyl)-3-[4-(4-thiazolyl)-1-piperidinyl]cyclopentyl]carbonyl]-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)

RN 787639-95-6 CAPLUS

CN Benzoic acid, 3-[1-[(3S)-3-[[7,8-dihydro-3-(trifluoromethyl)-1,6-naphthyridin-6(5H)-yl]carbonyl]-3-(1-methylethyl)cyclopentyl]-4-piperidinyl]-, ethyl ester (CA INDEX NAME)

Absolute stereochemistry.

RN 787639-96-7 CAPLUS

CN Benzoic acid, 3-[1-[(3S)-3-[[7,8-dihydro-3-(trifluoromethyl)-1,6-naphthyridin-6(5H)-yl]carbonyl]-3-(1-methylethyl)cyclopentyl]-4-piperidinyl]- (CA INDEX NAME)

RN 787639-97-8 CAPLUS

CN 1,6-Naphthyridine, 6-[[(1S)-3-[4-(2,5-dihydro-5-oxo-1,2,4-thiadiazol-3-yl)-1-piperidinyl]-1-(1-methylethyl)cyclopentyl]carbonyl]-5,6,7,8-tetrahydro-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 787639-98-9 CAPLUS

CN 1,6-Naphthyridine, 5,6,7,8-tetrahydro-6-[[(1S)-1-(1-methylethyl)-3-[3-(4-methyl-4H-1,2,4-triazol-3-yl)-1-piperidinyl]cyclopentyl]carbonyl]-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)

RN 787639-99-0 CAPLUS

CN 1,6-Naphthyridine, 5,6,7,8-tetrahydro-6-[[(1S)-1-(1-hydroxyethyl)-3-[4-(1H-1,2,4-triazol-1-yl)-1-piperidinyl]cyclopentyl]carbonyl]-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 787640-00-0 CAPLUS

CN 1,6-Naphthyridine, 5,6,7,8-tetrahydro-6-[[(1S)-1-(1-hydroxyethyl)-3-[4-(5-pyrimidinyl)-1-piperidinyl]cyclopentyl]carbonyl]-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 787640-01-1 CAPLUS

CN 1,6-Naphthyridine, 6-[[(1S,3R)-3-[4-(4-fluorophenyl)-1-piperidinyl]-1-(methoxymethyl)cyclopentyl]carbonyl]-5,6,7,8-tetrahydro-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 787640-02-2 CAPLUS

CN 1,6-Naphthyridine, 5,6,7,8-tetrahydro-6-[[(1R,3R)-3-(4-phenyl-1-piperidinyl)-1-(trifluoromethyl)cyclopentyl]carbonyl]-3-(trifluoromethyl)-, hydrochloride, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

●x HCl

RN 787640-54-4 CAPLUS

CN 1,6-Naphthyridine, 5,6,7,8-tetrahydro-6-[[(1S)-1-(1-methylethyl)-3-(1-pyrrolidinyl)cyclopentyl]carbonyl]-3-(trifluoromethyl)-, hydrochloride (9CI) (CA INDEX NAME)

RN 791067-36-2 CAPLUS
CN 1,6-Naphthyridine, 5,6,7,8-tetrahydro-6-[[(1S)-1-(1-methylethyl)-3[(4R,7S)-octahydro-4-methyl-4,7-epoxy-2H-isoindol-2yl]cyclopentyl]carbonyl]-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)

L4 ANSWER 6 OF 7 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2004:802715 CAPLUS

DOCUMENT NUMBER: 141:314157

TITLE: Preparation of amino cyclobutylamide modulators of

chemokine receptor activity Jiao, Richard; Yang, Lihu

INVENTOR(S):

PATENT ASSIGNEE(S):

SOURCE:

Jiao, Richard; Yang, Lihu
Merck & Co. Inc., USA
PCT Int. Appl., 108 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PA	TENT	NO.			KIN	D	DATE				LICAT	DATE						
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AU	2004	A1 20040930					AU 2	2004-	2223.		20040315							
CA	2519	2519220				A1 20040930				CA 2	2004-	20040315						
EP	1617841			A1 20060125				EP 2	2004-	7207	20040315							
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CN	1787	1787818			A		2006	0614	CN 2004-80013143						20040315			
JP	JP 2006520783					T 20060914				JP 2	2006-		20040315					
IN	IN 2005DN03929				Α	A 20070824				IN 2	2005-	20050902						
US	US 2006211722					A1 20060921				US 2	2005-		20050919					
PRIORIT	IORITY APPLN. INFO.:								US 2003-456047P					P 20030318				
											WO 2004-US7792						315	
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OTHER SOURCE(S): MARPAT 141:314157

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AB Title compds. represented by the formula I [wherein Z = independently C or N; R1 = H, heterocycle, Ph, cyano, etc.; R2-R4, R6 = independently H, (fluoro)alkyl, hydroxy, chloro, etc.; R5 = (fluoro)alkyl, (un)substituted pyridyl, bromo, etc.; R7-R9 = independently H, :0, Ph, (un)substituted alkyl; or R2R9 = heterocycle; A = (un)substituted amino or N-containing cyclic ring; and pharmaceutically acceptable salts and individual diastereomers thereof] were prepared as chemokine receptor modulators (no data). For example, II was given in a multi-step synthesis starting from the reaction of 3,5-bis(trifluoromethyl)benzylamine with 3-oxo-cyclobutanecarboxylic acid. Thus, I and their pharmaceutical compns. are useful as modulators of the chemokine receptor CCR-2 for the treatment of inflammatory and immunoregulatory disorders, and rheumatoid arthritis (no data).

ΙI

IT 766513-12-6P 766513-14-8P 766513-16-0P 766513-18-2P 766513-20-6P 766513-22-8P 766513-24-0P 766513-57-9P 767332-04-7P 767332-05-8P 767332-06-9P 767332-07-0P 767332-08-1P 767332-09-2P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of (piperidinyl)cyclobutylamide modulators of chemokine receptor activity)

RN 766513-12-6 CAPLUS

CN Cyclobutanecarboxamide, 1-(1-hydroxyethyl)-3-[(1R,3'R)-3'-methylspiro[1H-indene-1,4'-piperidin]-1'-yl]-N-[[5-(trifluoromethyl)-3-pyridinyl]methyl]-, cis-rel- (CA INDEX NAME)

RN 766513-14-8 CAPLUS

CN Cyclobutanecarboxamide, 1-(1-methylethyl)-3-(4-phenyl-1-piperidinyl)-N-[[5-(trifluoromethyl)-3-pyridinyl]methyl]- (CA INDEX NAME)

RN 766513-16-0 CAPLUS

CN 1,6-Naphthyridine, 5,6,7,8-tetrahydro-6-[[1-(1-methylethyl)-3-(4-phenyl-1-piperidinyl)cyclobutyl]carbonyl]-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)

RN 766513-18-2 CAPLUS

CN Cyclobutanecarboxamide, 1-(1-hydroxyethyl)-3-(4-phenyl-1-piperidinyl)-N-[[5-(trifluoromethyl)-3-pyridinyl]methyl]- (CA INDEX NAME)

RN 766513-20-6 CAPLUS

CN 1,6-Naphthyridine, 5,6,7,8-tetrahydro-6-[[1-(1-hydroxyethyl)-3-(4-phenyl-1-piperidinyl)cyclobutyl]carbonyl]-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)

RN 766513-22-8 CAPLUS

CN Cyclobutanecarboxamide, 1-(1-hydroxy-1-methylethyl)-3-(4-phenyl-1-piperidinyl)-N-[[5-(trifluoromethyl)-3-pyridinyl]methyl]- (CA INDEX NAME)

RN 766513-24-0 CAPLUS

CN 1,6-Naphthyridine, 5,6,7,8-tetrahydro-6-[[1-(1-hydroxy-1-methylethyl)-3-(4-phenyl-1-piperidinyl)cyclobutyl]carbonyl]-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)

RN 766513-57-9 CAPLUS

CN Cyclobutanecarboxamide, 1-(1-hydroxyethyl)-3-[(1R,3'R)-3'-methylspiro[1H-indene-1,4'-piperidin]-1'-yl]-N-[[5-(trifluoromethyl)-3-pyridinyl]methyl]-, trans-rel- (CA INDEX NAME)

Relative stereochemistry.

RN 767332-04-7 CAPLUS

CN Cyclobutanecarboxamide, 1-(1-methylethyl)-3-[(1R,3'R)-3'-methylspiro[1H-indene-1,4'-piperidin]-1'-yl]-N-[[5-(trifluoromethyl)-3-pyridinyl]methyl]-, rel- (CA INDEX NAME)

RN 767332-05-8 CAPLUS

CN 1,6-Naphthyridine, 5,6,7,8-tetrahydro-6-[[1-(1-methylethyl)-3-[(1R,3'R)-3'-methylspiro[1H-indene-1,4'-piperidin]-1'-yl]cyclobutyl]carbonyl]-3-(trifluoromethyl)-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 767332-06-9 CAPLUS

CN Cyclobutanecarboxamide, 1-(1-hydroxyethyl)-3-[(1R,3'R)-3'-methylspiro[1H-indene-1,4'-piperidin]-1'-yl]-N-[[5-(trifluoromethyl)-3-pyridinyl]methyl]-, rel- (CA INDEX NAME)

RN 767332-07-0 CAPLUS

CN 1,6-Naphthyridine, 5,6,7,8-tetrahydro-6-[[1-(1-hydroxyethyl)-3-[(1R,3'R)-3'-methylspiro[1H-indene-1,4'-piperidin]-1'-yl]cyclobutyl]carbonyl]-3-(trifluoromethyl)-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 767332-08-1 CAPLUS

CN Cyclobutanecarboxamide, 1-(1-hydroxy-1-methylethyl)-3-[(1R,3'R)-3'-methylspiro[1H-indene-1,4'-piperidin]-1'-yl]-N-[[5-(trifluoromethyl)-3-pyridinyl]methyl]-, rel- (CA INDEX NAME)

RN 767332-09-2 CAPLUS

CN 1,6-Naphthyridine, 5,6,7,8-tetrahydro-6-[[1-(1-hydroxy-1-methylethyl)-3-[(1R,3'R)-3'-methylspiro[1H-indene-1,4'-piperidin]-1'-yl]cyclobutyl]carbonyl]-3-(trifluoromethyl)-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

1

REFERENCE COUNT:

THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 7 OF 7 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2002:142517 CAPLUS

DOCUMENT NUMBER: 136:200102

TITLE: Preparation of N-cyclopentylpiperidines as modulators

of chemokine receptor activity

INVENTOR(S): Yang, Lihu; Butora, Gabor; Parsons, William H.;

Pasternak, Alexander Merck & Co., Inc., USA PCT Int. Appl., 274 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT ASSIGNEE(S):

SOURCE:

PA	PATENT NO.						KIND DATE			APPLICATION NO.							DATE				
W(_	20020221		WO 2001-US25335							20010813					
	W:	ΑE,	AG,	AL,	AM,	ΑT,	ΑU,	ΑZ,	BA,	BE	В, В	Э , В	R,	BY,	BZ,	CA,	CH,	CN,			
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CZ	A 2419	194			С		2007	1016													
ΙA	J 2001	A 20020225					AU 2001-83345														
												EP 2001-962140									
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	R:	ΑT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GF	R, I	Γ, L	I,	LU,	NL,	SE,	MC,	PT,			
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JI	JP 2004506013						T 20040226					JP 2002-518967						20010813			
Α.	AT 337782						T 20060915				AT 2001-962140						20010813				
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									US 2001-931454							20010816					
U	US 6545023						2003	0408													
PRIORI	IORITY APPLN. INFO.:																0000				
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OTHER S	HER SOURCE(S):						MARPAT 136:20010														

OTHER SOURCE(S): MARPAT 136:200102

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AΒ The title compds. I (R1 = H, (un)substituted C0-6alkyl-Y-C1-6alkyl andC0-6alkyl-Y-C0-6alkyl-C3-7cycloalkyl-C0-6alkyl wherein Y = bond, O, S, SO, SO2 and alkylamine; R2 = (un)substituted C0-6alkyl-Ph and C0-6alkyl-heterocycle; R3 = (un)substituted C0-6alkyl-phenyl; R4 = H, OH, alkyl, alkylhydroxy, CN, etc. or R3 and R4 may be joined to form a ring selected from 1H-indene, 2,3-dihydro-1H-indene, 1,3-dihydrobenzofuran, 1,3-dihydroisobenzofuran, 2,3-dihydrobenzothiofuran, and 1,3-dihydroisobenzothiofuran or R3 and R5 or R4 and R6 may be joined to form a (un)substituted Ph ring; R5 and R6 may also be independently selected from H, OH, alkyl, halo, etc.; X = NR7, O, CONR7, CH2O, NR7CO, CO2, OCO, CH2(NR7)CO, N(COR7) and CH2N(COR7) where R7 = H, (un)substituted -alkyl, -benzyl, -Ph, and -C1-6alkyl-C3-6cycloalkyl) are prepared and disclosed as modulators of chemokine receptor activity. Thus, II was prepared by ozonolysis of Et 3-methylenecyclopentane carboxylate, substitution with trans-3-methyl-4-(1,1-spiroindenyl)piperidine (preparation given), hydrolysis of intermediate Et spiropiperidinylmethylcyclpentane carboxylate and subsequent amidation by 3-trifluoromethyl-5fluorobenzylamine. In particular, these compds. are useful as modulators of the chemokine receptor CCR-2 (no data). As chemokine receptor modulators, these compds. may be useful as anti-inflammatory and antirheumatic agents.

IT 400763-83-9P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(target compound; preparation of chemokine receptor modulators N-cyclopentylpiperidines useful as anti-inflammatory and antirheumatic agents)

RN 400763-83-9 CAPLUS

CN Cyclopentanecarboxamide, 1-methyl-3-[(1R,3'R)-3'-methylspiro[1H-indene-1,4'-piperidin]-1'-yl]-N-(3-pyridinylmethyl)- (CA INDEX NAME)

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REFERENCE COUNT:

THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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FILE 'REGISTRY' ENTERED AT 12:49:32 ON 18 MAR 2008

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L2 1 S L1

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